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STRUCTURE FILE UPDATES: 9 JUL 2006 HIGHEST RN 891170-23-3 DICTIONARY FILE UPDATES: 9 JUL 2006 HIGHEST RN 891170-23-3

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http://www.cas.org/ONLINE/UG/regprops.html

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L1 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2006 ACS on STN

RN 667917-16-0 REGISTRY

ED Entered STN: 26 Mar 2004

CN L-Prolinamide, N-[(phenylmethoxy)carbonyl]-D-phenylalanyl-N-[(1S)-1-borono-4-methoxybutyl]- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 1: PN: WO2005084685 PAGE: 111 claimed sequence

CN TRI 50C

FS STEREOSEARCH

MF C27 H36 B N3 O7

CI COM

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 8 REFERENCES IN FILE CA (1907 TO DATE)
- 4 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

8 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> s 667917-82-0

1 667917-82-0

(667917-82-0/RN)

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COST IN U.S. DOLLARS

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FULL ESTIMATED COST

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PROCESSING COMPLETED FOR L3

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ANSWER 1 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2006:542268 CAPLUS

DOCUMENT NUMBER:

145:40301

TITLE:

Hydroxy fatty acids and hydroperoxy fatty acids and related compounds as neutralizing agents for boronic

acid drugs

INVENTOR(S):

Chahwala, Suresh Babubhai; Wang, Shouming; Russell,

Patric Russell

PATENT ASSIGNEE(S):

Trigen Ltd., UK

SOURCE:

PCT Int. Appl., 82 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	PATENT NO.					KIND DATE			APPLICATION NO.						DATE			
WO	WO 2006059083							WO 2005-GB4565										
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PRIORITY	RIORITY APPLN. INFO.:								GB 2004-26264					i	A 20041130			

AB The invention discloses the use of specified compds. for the manufacture of a medicament for therapeutically neutralizing an organoboronate drug. The specified compds. are typically hydroxy fatty acids or hydroperoxy fatty acids, e.g. 9(S)-HODE, 8(S)-HETRE or 8(S)-HEPE, or their salts or prodrugs. The organoboronate drug may be TRI 50c or a salt or prodrug thereof. Also disclosed are i.v. formulations containing the specified compds.

IT 667917-16-0, TRI 50c 667917-82-0

RL: BSU (Biological study, unclassified); BIOL (Biological study) (hydroxy and hydroperoxy fatty acids and related compds. as neutralizing agents for boronic acid drugs)

RN 667917-16-0 CAPLUS

CN L-Prolinamide, N-[(phenylmethoxy)carbonyl]-D-phenylalanyl-N-[(1S)-1-borono-4-methoxybutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 667917-82-0 CAPLUS

CN L-Prolinamide, N-[(phenylmethoxy)carbonyl]-D-phenylalanyl-N-[(1S)-1-borono-4-methoxybutyl]-, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●x Na

REFERENCE COUNT:

2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2005:1004574 CAPLUS

DOCUMENT NUMBER:

143:306408

TITLE:

Preparation of boronate medicaments for preventing

thrombosis during surgery

INVENTOR(S): Combe-Marzelle, Sophie Marie; Kakkar, Sanjay Kumar;

Allen, Graham Douglas Trigen Limited, UK

PATENT ASSIGNEE(S): Trigen Limited, UK SOURCE: PCT Int. Appl., 107 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

GI

PA	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D	ATE		
· · · -				A2 20050915 A3 20051201		1	WO 2005-GB908					20050309						
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PRIORITY APPLN. INFO.: OTHER SOURCE(S):				MAR	PAT	143:	3064		GB 2	004-	5280		i	A 2	0040	309		

AB The use for the manufacture of a medicament for preventing unwanted coagulation during surgery, and particularly a Coronary Artery Bypass Graft (CABG) procedure, comprises boronic acids and salts, prodrugs and prodrug salts. E.g., I was prepared as well as salts such as Na, Ca and amino acid salts. Examples also were given for i.v. administration to humans and mitral valve repair.

IT 667917-16-0P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

Ι

(preparation of boronate medicaments for preventing thrombosis during surgery)

RN 667917-16-0 CAPLUS

CN L-Prolinamide, N-[(phenylmethoxy)carbonyl]-D-phenylalanyl-N-[(1S)-1-borono-4-methoxybutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 667917-82-0P

RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of boronate medicaments for preventing thrombosis during surgery)

RN667917-82-0 CAPLUS

L-Prolinamide, N-[(phenylmethoxy)carbonyl]-D-phenylalanyl-N-[(1S)-1-borono-CN 4-methoxybutyl]-, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●x Na

ANSWER 3 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN

2005:735303 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER:

143:173146

TITLE:

Preparation of peptide boronic acid salts for use in

anti-thrombotic pharmaceutical formulations

INVENTOR(S):

Madge, David Jonathan; Dolman, Mark; Walter, Armin;

Krimmer, Dieter; Deadman, John Joseph; Olbrich,

Alfred; Weiland-Waibel, Andrea M. t.

PATENT ASSIGNEE(S):

Trigen Limited, UK

SOURCE:

U.S. Pat. Appl. Publ., 65 pp., Cont.-in-part of U.S.

Ser. No. 659,179.

CODEN: USXXCO

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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PRIORITY APPLN. INFO.:
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                                                          A3 20030909
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                                         WO 2003-GB3887
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                                                           A2 20040908
                                         US 2004-937854
                                                            A2 20040908
                       MARPAT 143:173146
```

OTHER SOURCE(S):

The invention relates to tripeptide boronic acids of (R,S,R) configuration, e.g., Cbz-(R)-Phe-(S)-Pro-(R)-Mpg-B(OH)2 (TRI 50c; Mpg=3-methoxypropylqlycine residue; Cbz = benzyloxycarbonyl), and their use to make base addition salts which are formulated into anti-thrombotic pharmaceutical formulations. Thus, TRI 50c pinacol ester and magnesium salt were prepared and their activities in a thrombin amidolytic assay shown in a figure. TRI 50c and novel products of the invention are effective in arterial as well as venous contexts.

667917-16-0DP, complexes with zinc 667917-16-0P TΤ 667917-82-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of peptide boronic acid salts for use in anti-thrombotic pharmaceutical formulations)

RN 667917-16-0 CAPLUS

L-Prolinamide, N-[(phenylmethoxy)carbonyl]-D-phenylalanyl-N-[(1S)-1-borono-CN 4-methoxybutyl]- (9CI) (CA INDEX NAME)

RN 667917-16-0 CAPLUS

CN L-Prolinamide, N-[(phenylmethoxy)carbonyl]-D-phenylalanyl-N-[(1S)-1-borono-4-methoxybutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 667917-82-0 CAPLUS

CN L-Prolinamide, N-[(phenylmethoxy)carbonyl]-D-phenylalanyl-N-[(1S)-1-borono-4-methoxybutyl]-, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●x Na

L4 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2005:474929 CAPLUS

DOCUMENT NUMBER:

143:7986

TITLE:

Method for synthesizing peptide boronic acids

INVENTOR(S): Walter, Armin; Olbrich, Alfred; Weiland-Waibel, Andrea

M. T.; Krimmer, Dieter

PATENT ASSIGNEE(S): Trigen Limited, UK

SOURCE: U.S. Pat. Appl. Publ., 43 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

F	PATENT NO.	KIND	DATE	AP	PLICATION NO.	_	DATE
Ţ	JS 2005119226 JS 2005282757 ITY APPLN. INFO.:	A1 A1	20050602 20051222	US US GB GB GB GB US US US	2004-937181 2005-78097 2003-501718P 2002-20764 2002-20822 2003-7817 2003-11237 2003-15691 2003-658971 2003-659178 2003-659179 2004-937181 2004-937854	A2 A2 A2	20040908 20050309 20030909 20020909 20020909 20030404 20030516 20030704 20030909 20030909 20030909 20040908 20040908

OTHER SOURCE(S): MARPAT 143:7986

Organoboronic acids, e.g., Cbz-(R)-Phe-(S)-Pro-(R)-Mpg-B(OH)2 (Mpg = 3-methoxypropylglycine residue; Cbz = benzyloxycarbonyl), are made by hydrolyzing their diethanolamine adducts under conditions which avoid substantial C-B bond breakage. The product acids are substantially free of degradation product derived from cleavage of the C-B bond and are converted into base addition salts for use in anti-thrombotic pharmaceutical formulations.

IT 667917-16-0P 667917-82-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (synthesis of peptide boronic acids via cleavage of diethanolamine
 adducts)

RN 667917-16-0 CAPLUS

CN L-Prolinamide, N-[(phenylmethoxy)carbonyl]-D-phenylalanyl-N-[(1S)-1-borono-4-methoxybutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 667917-82-0 CAPLUS

CN L-Prolinamide, N-[(phenylmethoxy)carbonyl]-D-phenylalanyl-N-[(1S)-1-borono-4-methoxybutyl]-, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●x Na

L4 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:198296 CAPLUS

DOCUMENT NUMBER: 140:229444

TITLE: Boronic acid salts and use thereof in the preparation

of medicaments for treating thrombosis

INVENTOR(S): Madge, David Jonathan; Dolman, Mark; Combe-Marzelle,

Sophie Marie; Deadman, John Joseph; Kennedy, Anthony

James; Kakkar, Sanjay Kumar

PATENT ASSIGNEE(S): Trigen Limited, UK

SOURCE: Eur. Pat. Appl., 19 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE			
EP 1396270 EP 1396270	A1 B1	20040310 20060510	EP 2003-255629	20030909			
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             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
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PRIORITY APPLN. INFO.:
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OTHER SOURCE(S):
     Salts of a peptide boronic acid drug, for example of Cbz-(R)-Phe-(S)-Pro-
     (R)-Mpg-B(OH)2 are described. The counter-ion to the boronate may be an
     alkali metal or derived from an organic nitrogen-containing compound The
salts are
     used for the manufacture of a medicament for treating thrombosis.
     667917-16-0P, TRI 50c
     RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); PRP
     (Properties); RCT (Reactant); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT
     (Reactant or reagent); USES (Uses)
        (preparation, antithrombotic activity, bioavailability and properties of
        oral boronic acid salts)
     667917-16-0 CAPLUS
    L-Prolinamide, N-[(phenylmethoxy)carbonyl]-D-phenylalanyl-N-[(1S)-1-borono-
     4-methoxybutyl]- (9CI) (CA INDEX NAME)
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Absolute stereochemistry.

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IT 667917-16-0DP, complexes with tri 50c 667917-82-0P
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); PRP
(Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL
(Biological study); PREP (Preparation); USES (Uses)
(preparation, antithrombotic activity, bioavailability and properties of oral boronic acid salts)

RN 667917-16-0 CAPLUS

CN L-Prolinamide, N-[(phenylmethoxy)carbonyl]-D-phenylalanyl-N-[(1S)-1-borono-4-methoxybutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 667917-82-0 CAPLUS

CN L-Prolinamide, N-[(phenylmethoxy)carbonyl]-D-phenylalanyl-N-[(1S)-1-borono-4-methoxybutyl]-, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

OUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

REFERENCE COUNT: